WEST Search History

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DATE: Saturday, November 12, 2005

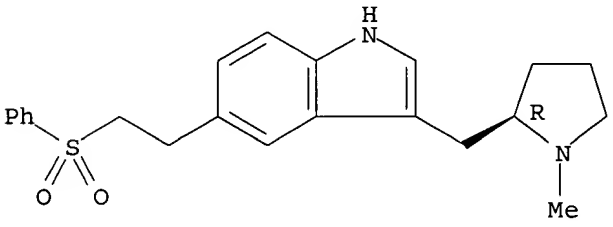
Hide?	Set Name	Query	Hit Count
		DB=PGPB,USPT,USOC,EPAB,JPAB,DWPI; PLUR=YES; OP=ADJ	
☐	L10	l2 and core	54
☐	L9	coat$3 same layer same l2	3
☐	L8	l2 and l5	9
☐	L7	L6 and l2	2
☐	L6	L5 and l3	195
☐	L5	core with (sugar or sucrose or starch or microcrystalline cellulose)	8402
☐	L4	eudragit	3615
☐	L3	non-pareil	447
☐	L2	eletriptan	236
		DB=PGPB; PLUR=YES; OP=ADJ	
☐	L1	20020034545.pn.	1

END OF SEARCH HISTORY

09912774

L1 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2005 ACS on STN
RN 143322-58-1 REGISTRY
ED Entered STN: 04 Sep 1992
CN 1H-Indole, 3-[[(2R)-1-methyl-2-pyrrolidinyl]methyl]-5-[2-(phenylsulfonyl)ethyl]- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 1H-Indole, 3-[(1-methyl-2-pyrrolidinyl)methyl]-5-[2-(phenylsulfonyl)ethyl]-, (R)-
OTHER NAMES:
CN (R)-5-[2-(Benzenesulfonyl)ethyl]-3-[(N-methylpyrrolidin-2-yl)methyl]-1H-indole
CN **Eletriptan**
CN UK 116044
FS STEREOSEARCH
MF C22 H26 N2 O2 S
CI COM
SR CA
LC STN Files: ADISINSIGHT, ADISNEWS, BIOBUSINESS, BIOSIS, BIOTECHNO, CA, CAPLUS, CASREACT, CBNB, CHEMCATS, CIN, DDFU, DIOGENES, DRUGU, EMBASE, IMSDRUGNEWS, IMSPATENTS, IMSRESEARCH, IPA, MRCK*, PATDPASPC, PHAR, PROMT, PROUSDDR, PS, SYNTHLINE, TOXCENTER, USAN, USPAT2, USPATFULL
(*File contains numerically searchable property data)

Absolute stereochemistry. Rotation (+).



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

166 REFERENCES IN FILE CA (1907 TO DATE)
4 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
167 REFERENCES IN FILE CAPLUS (1907 TO DATE)

Blessing

L9 ANSWER 1 OF 1 USPATFULL on STN
ACCESSION NUMBER: 2002:185318 USPATFULL
TITLE: Process for manufacturing coated granules with masked taste and immediate release of the active principle
INVENTOR(S): Nouri, Noureddine, Cannes, FRANCE
Zuccarelli, Jean-Marc, Antibes, FRANCE
Bruna, Etienne, Jouy, FRANCE
Chauveau, Charles, Valbonne, FRANCE
PATENT ASSIGNEE(S): Ethypharm, Houdan, FRANCE (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002098227	A1	20020725
	US 6660382	B2	20031209
APPLICATION INFO.:	US 2002-41389	A1	20020108 (10)

RELATED APPLN. INFO.: Continuation of Ser. No. WO 2000-FR1855, filed on 30 Jun 2000, UNKNOWN

	NUMBER	DATE
PRIORITY INFORMATION:	FR 1999-9047	19990708

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: Philip E. Hansen, Heslin Rothenberg Farley & Mesiti P.C., 5 Columbia Circle, Albany, NY, 12203
NUMBER OF CLAIMS: 22
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 2 Drawing Page(s)
LINE COUNT: 608
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The invention concerns a method for making coated granules with masked taste and instant release of the active principle which consists in: first, mixing the constituents of a powder comprising at least the active principle and a granular disintegrating agent; then, granulating the resulting powder, in the presence of a mixture of carriers comprising at least a binding agent capable of binding the particles together to obtain grains; coating the grains formed by spraying a suspension comprising at least a coating agent and a membrane disintegrating agent; finally drying the resulting coated granules.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
SUMM . . . the claims, the expression "membrane disintegrant" denotes an excipient that is capable of increasing the speed of disintegration of the **coating layer** of the granules, obtained after the coating step.
SUMM . . . excipient capable of accelerating the speed of separation of the particles of active principle from each other after dissolving the **coating layer** of the granule.
SUMM [0032] Among the **acrylic** polymers that will be advantageously chosen are the ammonio-methacrylate copolymer (**Eudragit®** RL or RS), the polyacrylate (**Eudragit®** NE) and the methacrylic acid copolymer (**Eudragit®** L or S), **Eudragit®** being a registered trademark of Rohm.
IT 69-65-8, Mannitol 128-44-9, Sodium saccharinate 7631-86-9, Silica, biological studies 9004-32-4, Sodium carboxymethyl cellulose 9004-34-6, Cellulose, biological studies 9004-57-3, Ethyl cellulose 9004-64-2, Hydroxypropyl cellulose 9004-65-3, Hydroxypropylmethyl cellulose 9005-25-8D, Starch, derivs., biological studies 9057-06-1,

Carboxymethyl starch 15687-27-1, Ibuprofen 20702-77-6, Neohesperidin dihydrochalcone 22839-47-0, Aspartame 25087-26-7, Polymethacrylic acid 25322-68-3, Polyoxyethylene glycol 53956-04-0, Monoammonium glycyrrhizinate 55589-62-3, Potassium acesulfame 74811-65-7, Sodium croscarmellose **143322-58-1**, Eletriptan 148553-50-8, Pregabalin
(method for making granules with masked taste and instant release of active particle)

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=> d his

     (FILE 'HOME' ENTERED AT 19:42:09 ON 12 NOV 2005)

     FILE 'REGISTRY' ENTERED AT 19:42:22 ON 12 NOV 2005
L1             1 S ELETRIPTAN/CN

     FILE 'USPATFULL, BIOSIS, CAPLUS, DRUGU, EMBASE' ENTERED AT 19:43:51 ON 12
     NOV 2005
L2           962 S L1
L3         12138 S EUDRAGIT
L4        905318 S CORE
L5        810310 S ACRYL?
L6          3094 S L5 (P) L3
L7        569528 S COAT? (P) LAYER
L8         75761 S COAT? (W) LAYER
L9             1 S L2 AND L8 AND L6
L10      2014053 S PARTICLE
L11           31 S L10 AND L2
L12       287687 S CAPSULE
L13           14 S L12 AND L11
L14            4 S L13 AND L6
L15            4 DUP REM L14 (0 DUPLICATES REMOVED)
```

Blessing

09912774

L15 ANSWER 1 OF 4 USPATFULL on STN
ACCESSION NUMBER: 2004:209899 USPATFULL
TITLE: Rapid absorption selective 5-HT agonist formulations
INVENTOR(S): Mezaache, Naima, McLean, VA, UNITED STATES
Mezaache, Djelila, Laurel, MD, UNITED STATES
Frisbee, Steve, Reston, VA, UNITED STATES
Maes, Paul, Toronto, CANADA

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2004162333	A1	20040819	
APPLICATION INFO.:	US 2004-779784	A1	20040218	(10)

	NUMBER	DATE	
PRIORITY INFORMATION:	US 2003-447741P	20030219	(60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: CROWELL & MORING LLP, INTELLECTUAL PROPERTY GROUP, P.O. BOX 14300, WASHINGTON, DC, 20044-4300
NUMBER OF CLAIMS: 135
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 17 Drawing Page(s)
LINE COUNT: 2725
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The invention provides for a rapid absorption pharmaceutical composition comprising an effective amount of at least one selective 5-HT agonist, at least one spheronization aid and at least one solubility enhancer. The composition of the invention is incorporated into microparticles, which may be subsequently taste-masked and incorporated into a variety of dosage forms for administration to a patient suffering from migraine

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
DETD . . . non-cushioning matrix tablets, a fast-dispersing direct compression cushioning matrix tablets, direct compression non-cushioning matrix tablets, direct compression cushioning matrix tablets, **capsules**, buccal tablet, sachets and the like.
DETD . . . aid and at least one solubility enhancer. The term "microparticles" as used herein is interchangeable with the terms "microspheres", "spherical **particles**" and "microcapsules".
DETD . . . is conducted below the melting point of the drug. Therefore, the excipients are designed to melt and entrain the drug **particles** on passing through the apertures to form microparticles. The resulting microparticles contain the drug, in its native state, essentially enveloped. . .
DETD . . . created by its rotation expels the material through spaces between the heating elements. The heated feedstock forms discrete, generally spherical **particles** as it exists. The spherical microparticles so formed are then cooled by convection as they fall to the bottom of. . .
DETD . . . which grooves have a uniform depth and width throughout their length so that highly uniform discrete spherical microparticles or other **particles** are produced. Using this or a similar insert, the spinning head is operated from about 50 Hz to about 75. . .
DETD [0077] Useful hydrophobic polymers include (meth)**acrylate** /cellulosic polymers. Ethylcellulose (EC), hydroxypropylcellulose (HPC), hydroxypropylmethylcellulose (HPMC), and polymethacrylate polymers, such as **Eudragit** RS, **Eudragit** RL, E 100, and NE30D or

Blessing

mixtures thereof are useful. The preferred hydrophobic polymer is Ethylcellulose E45. The preferred hydrophilic. . .

DETD . . . matrix. Preferably, the microparticles are compressed into tablets without a cushioning matrix. However, the microparticles can also be incorporated into **capsules**, buccal tablets, sachets, and the like.

DETD . . . and

				disintegrant	in 10 s, 45% in 20 s
Ac-Disol	Croscarmellose 88%	Disintegrant	Cellulose, carboxymethyl ether, sodium salt, crosslinked	Tablet and **capsule** super disintegrant	Hygroscopic Wicking swelling- 12% in 10 s, 23% in 20 s
Primellose	sodium				
Explotab Primojel	Sodium starch glycolate	Disintegrant in	Sodium carboxymethyl starch	Tablet and **capsule** super disintegrant	Swelling capacity: water swells up to 300 times its volume
L-HPC	Hydroxypropyl cellulose, low - substituted binder	Tablet disintegrant, binder in	Cellulose, 2-hydroxypropyl ether (low substituted)	Tablet and **capsule** disintegrant, tablet granulation	Hygroscopic Swelling- 13% in 10 s, 50% in 20 s. . .
Amberlite IRP 88	Polacrilin Potassium	Tablet disintegrant	Cation exchange resin	Tablet disintegrant	Swelling ability
Starch 1500	Starch, 22% pregelatinized	**Capsule** and tablet binder,	Pregelatinized starch	Tablet and **capsule** diluent, disintegrant,	Hygroscopic

DETD . . . utilize ether) Sodium carboxymethyl starch, highly cross linked

DETD . . . high shear equipment

	diluent,			
			tablet	
	disintegrant			
			binder	
Avicel	Microcrystalline Cellulose		Tablet and	Hygroscopic
	18% Binder/diluent-			
	cellulose		**capsule**	Swelling-
	has also			
			diluent,	12% in 10 s,
	some			
			tablet	18% in 20 s
	lubricant			
			disintegrant	
	and			
		disintegrant		
		properties		

DETD . . . of a lubricant in the excipient powder is thought to interfere in a deleterious way with the bonding between the **particles** during compaction and thus reduce tablet strength. Because many lubricants are hydrophobic, tablet disintegration and dissolution are often retarded by. . .

DETD [0099] Anti-adherents reduce adhesion between the excipient powder mixture and the punch faces and thus prevent **particles** sticking to the punches, a phenomenon know in the art as "sticking" or "picking", and is affected by the moisture. . .

DETD [0121] The cushioning matrix or floss **particles** can be chopped using the apparatus discussed in U.S. Pat. No. 5,637,326. Any other device having a similar function is. . .

DETD . . . to temperatures of about 25° C. to about 50° C. Typically, the temperature is monitored to minimize clumping of floss **particles** during this operation. If any clumping occurs, the floss **particles** must be sieved before being further processed into tablets. Heating times of about 5 to about 30 minutes are typical.

CLM What is claimed is:

. . . tablet, a fast-dispersing direct compression cushioning matrix tablet, a direct compression non-cushioning matrix tablet, a direct compression cushioning matrix tablet, **capsule**, buccal tablet, and sachet.

. . . tablet, a fast-dispersing direct compression cushioning matrix tablet, a direct compression non-cushioning matrix tablet, a direct compression cushioning matrix tablet, **capsule**, buccal tablet, and sachet.

IT 103628-48-4, Sumatriptan succinate 121679-13-8, Naratriptan
139264-17-8, Zolmitriptan **143322-58-1**, Eletriptan
144034-80-0, Rizatriptan 158747-02-5, Frovatriptan
(rapid absorption selective 5-HT agonist formulations)

L15 ANSWER 2 OF 4 USPATFULL on STN
ACCESSION NUMBER: 2002:185318 USPATFULL
TITLE: Process for manufacturing coated granules with masked taste and immediate release of the active principle
INVENTOR(S): Nouri, Noureddine, Cannes, FRANCE
Zuccarelli, Jean-Marc, Antibes, FRANCE
Bruna, Etienne, Jouy, FRANCE
Chauveau, Charles, Valbonne, FRANCE
PATENT ASSIGNEE(S): Ethypharm, Houdan, FRANCE (non-U.S. corporation)

09912774

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002098227	A1	20020725
	US 6660382	B2	20031209
APPLICATION INFO.:	US 2002-41389	A1	20020108 (10)

RELATED APPLN. INFO.: Continuation of Ser. No. WO 2000-FR1855, filed on 30 Jun 2000, UNKNOWN

	NUMBER	DATE
PRIORITY INFORMATION:	FR 1999-9047	19990708

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: Philip E. Hansen, Heslin Rothenberg Farley & Mesiti P.C., 5 Columbia Circle, Albany, NY, 12203
NUMBER OF CLAIMS: 22
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 2 Drawing Page(s)
LINE COUNT: 608
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention concerns a method for making coated granules with masked taste and instant release of the active principle which consists in: first, mixing the constituents of a powder comprising at least the active principle and a granular disintegrating agent; then, granulating the resulting powder, in the presence of a mixture of carriers comprising at least a binding agent capable of binding the **particles** together to obtain grains; coating the grains formed by spraying a suspension comprising at least a coating agent and a membrane disintegrating agent; finally drying the resulting coated granules.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB . . . resulting powder, in the presence of a mixture of carriers comprising at least a binding agent capable of binding the **particles** together to obtain grains; coating the grains formed by spraying a suspension comprising at least a coating agent and a. . .

SUMM [0005] One of the solutions proposed consists in coating the **particles** of active principle with a cellulose polymer. However, although the taste of the active principle present in the granules is. . .

SUMM . . . French patent application FR 98/14033 which is unpublished at the date of filing of the present application, to coat ibuprofen **particles** by spraying with a solution based on ethylcellulose and hydroxypropylmethylcellulose, also comprising an agent for promoting the dissolution of the. . .

SUMM [0007] Another solution consists in coating the **particle** of active principle with a polymer of the acrylic type. Among these polymers that may be distinguished are pH-dependent polymers,. . .

SUMM [0011] Document U.S. Pat. No. 4,726,966 describes a process for manufacturing ibuprofen microspheres by dissolving ibuprofen **particles** in an aliphatic alcohol, followed by recrystallization in the form of microspheres with the aid of various solvents and acrylic. . .

SUMM . . . is then granulated, in the presence of a mixture of excipients comprising at least one binder capable of binding the **particles** together to give grains;

SUMM [0023] Similarly, the expression "granule disintegrant" denotes an excipient capable of accelerating the speed of separation of the

particles of active principle from each other after dissolving the coating layer of the granule.

SUMM . . . insofar as, even though the primary function of the binder used in the granulation step is to bind together the **particles** of active principle and the AGG, it nevertheless already partially coats the grains formed.

SUMM [0032] Among the **acrylic** polymers that will be advantageously chosen are the ammonio-methacrylate copolymer (**Eudragit**® RL or RS), the polyacrylate (**Eudragit**® NE) and the methacrylic acid copolymer (**Eudragit**® L or S), **Eudragit**® being a registered trademark of Rohm.

SUMM . . . similar action at the granular level to be obtained, that is to say to promote the release of the bound **particles** of active principle at the level of the grains formed after the granulation step, the excipient mixture used in the. . .

SUMM . . . regards the gradual disintegration of the film for coating the granule, but also as regards the subsequent separation of the **particles** of active principle, the dry mix of initial powder may also comprise a sweetener.

DETD . . . addition, the coated granules obtained may be incorporated into any suitable presentation form of the type such as a gel **capsule**, a multiparticulate tablet, a tablet, a sachet, etc.

CLM What is claimed is:

. . . is then granulated, in the presence of a mixture of excipients comprising at least one binder capable of binding the **particles** together to give grains and containing no membrane disintegrant; the grains formed are then coated by spraying with a suspension. . .

. . . are then coated, in the presence of the same mixture of excipients comprising at least one binder capable of binding **particles** together to give grains; at least one coating agent and a membrane disintegrant; the rate of spraying of the mixture. . .

IT 69-65-8, Mannitol 128-44-9, Sodium saccharinate 7631-86-9, Silica, biological studies 9004-32-4, Sodium carboxymethyl cellulose 9004-34-6, Cellulose, biological studies 9004-57-3, Ethyl cellulose 9004-64-2, Hydroxypropyl cellulose 9004-65-3, Hydroxypropylmethyl cellulose 9005-25-8D, Starch, derivs., biological studies 9057-06-1, Carboxymethyl starch 15687-27-1, Ibuprofen 20702-77-6, Neohesperidin dihydrochalcone 22839-47-0, Aspartame 25087-26-7, Polymethacrylic acid 25322-68-3, Polyoxyethylene glycol 53956-04-0, Monoammonium glycyrrhizinate 55589-62-3, Potassium acesulfame 74811-65-7, Sodium croscarmellose **143322-58-1**, Eletriptan 148553-50-8, Pregabalin
(method for making granules with masked taste and instant release of active particle)

L15 ANSWER 3 OF 4 USPATFULL on STN
ACCESSION NUMBER: 2002:60711 USPATFULL
TITLE: Particulate composition of eletriptan
INVENTOR(S): De Raspide, Manaud Pierre Frederic, Sandwich, UNITED KINGDOM
Macrae, Ross James, Sandwich, UNITED KINGDOM
Walther, Mathias, Sandwich, UNITED KINGDOM

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002034545	A1	20020321
APPLICATION INFO.:	US 2001-912774	A1	20010725 (9)

	NUMBER	DATE

09912774

PRIORITY INFORMATION:	GB 2000-18968	20000802
	US 2000-225237P	20000815 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	Paul H. Ginsburg, Pfizer Inc, 20th Floor, 235 East 42nd Street, New York, NY, 10017-5755	
NUMBER OF CLAIMS:	42	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	2 Drawing Page(s)	
LINE COUNT:	763	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention provides a pharmaceutical composition in particulate form, suitable for oral administration, including a core containing eletriptan or a pharmaceutically acceptable salt thereof, the core being coated with a water-insoluble, permeable coating including one or more acrylic copolymer(s) containing trimethylammoniumethylmethacrylate groups, said composition being capable of achieving a sigmoidal pattern of controlled drug release. Such a pharmaceutical composition is particularly useful in the prevention of migraine recurrence.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SUMM . . . of vinyl pyrrolidone/vinyl acetate, a hydroxypropyl methylcellulose or sodium carboxymethylcellulose) or diluent(s) (e.g. lactose, mannitol or sucrose) and formed into **particles** suitable for coating (for instance, by extrusion spheronisation, direct pellitisation/high or low shear granulation, fluid bed granulation or spray drying/melt. . . polyvinyl pyrrolidone, pregelatinised starch, sodium alginate or zein) is layered onto the surface of a pharmaceutically acceptable seed, typically a **particle** (e.g. a sphere) of sucrose, starch, microcrystalline cellulose or any combination thereof, to form the drug core. Such layering may. . .

SUMM [0023] The **acrylic** copolymer(s) containing trimethylammoniumethylmethacrylate groups included in the water-insoluble, permeable coating is/are preferably selected from the **Eudragit** RL (Trade Mark) and **Eudragit** RS (Trade Mark) copolymers manufactured by Rohm Pharma GmbH. These copolymers contain chloride counter-ions, which are preferred counter-ions for the present invention. A ratio of about 95:5, by weight, **Eudragit** RS (Trade Mark):**Eudragit** RL (Trade Mark) is particularly preferred.

SUMM [0037] A particulate formulation of the invention is preferably administered orally in the form of tablets, **capsules** or ovules, which may contain flavouring or colouring agents.

SUMM [0039] Such **capsules** may be made of hard or soft gelatine or hydroxypropyl methylcellulose and contain excipients such as lactose, starch, a cellulose,. . .

SUMM [0040] The particulate compositions of the invention are most preferably administered contained in hard gelatine **capsules**.

SUMM [0042] Thus tablets or **capsules** comprising the particulate formulations of the invention will typically contain from 20 to 240 mg of eletriptan or a pharmaceutically. . .

DETD . . . mm, 2.6% (by weight); 0.71-1.18 mm, 97.3% (by weight); 1.18-1.4 mm, 0.1% (by weight); >1.4 mm, 0% (by weight). The **particles** are dusted with 28.4 g talc to prevent them from sticking during the curing step. The **particles** are cured in a fan-assisted oven at 40° C. for 24 hours to complete the membrane-forming process and to remove. . .

DETD . . . the coat application is completed, the product is dried under

the same conditions for five minutes and then discharged. The **particles** so obtained have the following approximate size distribution, referring to their diameter: <1.18 mm, 8% (by weight); 1.18-1.4 mm, 56% (by weight); 1.4-1.7 mm, 30% (by weight); >1.7 mm, 6% (by weight). The **particles** of the 1.18-1.4 mm fraction are dusted with 12.5 g talc to prevent them from sticking during curing. The **particles** are cured in a fan-assisted oven at 40° C. for 24 hours to complete the membrane formation process and to. . .

DETD . . . the coat application is completed, the product is dried under the same conditions for five minutes and then discharged. The **particles** are dusted with 50 g talc to prevent them from sticking during curing. The **particles** are cured in a fan-assisted oven at 40° C. for 24 hours to complete the membrane formation process and to. . .

DETD . . . the coat application is completed, the product is dried under the same conditions for five minutes and then discharged. The **particles** so obtained have an approximate size distribution, referring to their diameter, of 1.0-1.18 mm. The **particles** are cured in a fan-assisted oven at 40° C. for 24 hours to complete the membrane formation process and to. . .

DETD [0078] The drug was administered in the form of hard gelatine **capsules** (size 1). In regimen A the **capsule** was filled with 100 mg of the formulation of Example 5. In regimen B the **capsule** was filled with 100 mg of the formulation of Example 5 and 138 mg of the composition of Example 2. In regimen C, the **capsule** was filled with 100 mg of the formulation of Example 5 and 125 mg of the composition of Example 3.

CLM What is claimed is:

4. The composition of claim 1, wherein the core is formed as a **particle** of eletriptan, or a pharmaceutically acceptable salt thereof, and optionally one or more extrusion aid(s), binder(s) or diluent(s).

15. The composition of claim 1, wherein the **acrylic** copolymer(s) containing trimethylammoniumethylmethacrylate groups is/are selected from **Eudragit** RL.TM. and **Eudragit** RS.TM..

16. The composition of claim 15, wherein the **acrylic** copolymers are a mixture of 95:5, by weight, **Eudragit** RS.TM.: **Eudragit** RL.TM..

23. The pharmaceutical formulation of claim 22, said formulation comprising a hard gelatine **capsule**.

25. The pharmaceutical formulation of claim 24, said formulation comprising a hard gelatine **capsule**.

IT 63-42-3, Lactose 9004-65-3, Hydroxypropyl methyl cellulose 25322-68-3, Polyethylene glycol 33434-24-1, Eudragit RS30D 107950-49-2, Eudragit RL30D **143322-58-1**, Eletriptan 177834-92-3, Eletriptan hydrobromide 219790-71-3, Eletriptan hemisulfate
(particulate composition of eletriptan showing sigmoidal pattern of controlled release)

L15 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:107079 CAPLUS
DOCUMENT NUMBER: 136:156442
TITLE: Particulate composition of eletriptan showing a

sigmoidal pattern of controlled release

INVENTOR(S): De Raspide, Manaud Pierre Frederick; MacRae, Ross James; Walther, Mathias
PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer, Inc.
SOURCE: PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002009675	A1	20020207	WO 2001-IB1279	20010718
WO 2002009675	C2	20030710		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
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CA 2417887	AA	20020207	CA 2001-2417887	20010718
BR 2001012839	A	20030624	BR 2001-12839	20010718
EP 1365748	A2	20031203	EP 2001-949819	20010718
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004505034	T2	20040219	JP 2002-515228	20010718
EE 200300051	A	20041015	EE 2003-51	20010718
NZ 522976	A	20041126	NZ 2001-522976	20010718
US 2002034545	A1	20020321	US 2001-912774	20010725
BG 107361	A	20030630	BG 2002-107361	20021206
HR 2003000036	A1	20030430	HR 2003-36	20030121
NO 2003000498	A	20030131	NO 2003-498	20030131
ZA 2003000868	A	20040416	ZA 2003-868	20030131

PRIORITY APPLN. INFO.: GB 2000-18968 A 20000802
US 2000-225237P P 20000815
WO 2001-IB1279 W 20010718

AB The invention provides a pharmaceutical composition in particulate form, suitable for oral administration, including a core containing eletriptan or a pharmaceutically acceptable salt thereof, the core being coated with a water-insol., permeable coating including one or more **acrylic** copolymer(s) containing trimethylammoniumethylmethacrylate groups, said composition being capable of achieving a sigmoidal pattern of controlled drug release. Such a pharmaceutical composition is particularly useful in the prevention of migraine recurrence. Drug cores were made from a mixture containing eletriptan hydrobromide 1455.0, microcryst. cellulose 773.0, lactose 773.0, and water 1400 g. The cores were coated with a dispersion containing talc 20.0, water 331.7, tri-Et citrate 8.0, **Eudragit** RS30D 126.7, **Eudragit** RL30D 6.7 g and dried. The **particles** thus obtained had size distribution of 0.71-1.4 mm. In vitro and in vivo release of eletriptan was studied.
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IT Drug delivery systems
(**capsules**, controlled-release; particulate composition of eletriptan showing sigmoidal pattern of controlled release)

IT 63-42-3, Lactose 9004-65-3, Hydroxypropyl methyl cellulose 25322-68-3, Polyethylene glycol 33434-24-1, Eudragit RS30D 107950-49-2, Eudragit RL30D **143322-58-1**, Eletriptan 177834-92-3, Eletriptan hydrobromide 219790-71-3, Eletriptan hemisulfate
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(particulate composition of eletriptan showing sigmoidal pattern of controlled release)